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(54) **CRYSTALLINE ANTIFUNGAL POLYMORPH**

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(58) **Field of Search 544/366; 514/252,
514/254.07**

(56) **References Cited**

U.S. PATENT DOCUMENTS

5,625,064 A	• 4/1997	Andrews et al.	544/366
5,661,151 A	• 8/1997	Saksena et al.	514/252
5,693,626 A	• 12/1997	Saksena et al.	514/85
5,703,079 A	• 12/1997	Saksena et al.	514/252
5,710,154 A	• 1/1998	Saksena et al.	514/252
5,714,490 A	• 2/1998	Saksena et al.	514/252

FOREIGN PATENT DOCUMENTS

WO	95/17407	• 6/1995
WO	96/33178	10/1996
WO	96/38443	• 12/1996

OTHER PUBLICATIONS

Munzel, Von K., *Progress in Drug Research*, 1996, vol. 10,
pp. 227-230, Birkhauser, Basel, Switzerland.

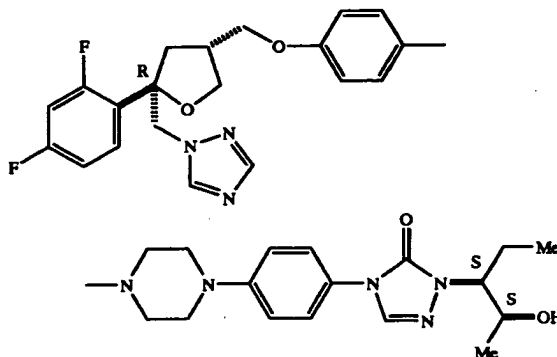
* cited by examiner

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(57) **ABSTRACT**

The crystalline polymorph Form I of (-)-4-[4-[4-[(2R-cis)-5-(2,4-difluorophenyl)tetrahydro-5-(1H-1,2,4-triazol-1-ylmethyl)furan-3-yl]methoxy]phenyl]-1-piperazinyl]phenyl-2,4-dihydro-2-[(S)-1-ethyl-2(S)-hydroxylpropyl]-3H-1,2,4-triazol-3-one represented by the formula I



pharmaceutical compositions containing such a polymorph
and methods of using such a polymorph to treat fungal
infections in mammals are disclosed.

5 Claims, 10 Drawing Sheets